BCRP Inhibition

Assessing ABCG2-Mediated Efflux and Drug–Drug Interaction Risk

NEZU
Biotech GmbH

Dear Client,

first and foremost, thanks for downloading this free resource. All graphics in this report are predictions made by our AI systems. They show the profile of this ADMET endpoint for thousands of marketed drugs. We divided it by indication, and as you can see, it changes a lot from one category to another. Therefore, try to find the closest indication to the compounds you are currently developing.

The **Breast Cancer Resistance Protein** (BCRP or ABCG2), inhibition asks whether a compound interferes with a cellular export pump. BCRP moves many drugs, metabolites, and xenobiotics out of cells. Inhibition reduces this transport and increases intracellular or systemic exposure to compounds that are BCRP substrates.

BCRP inhibition is commonly measured in membrane-vesicle or polarized-cell assays. In a vesicle assay, inside-out membrane vesicles containing human BCRP are incubated with ATP, a probe substrate, and increasing concentrations of the test compound. Researchers measure ATP-dependent uptake of the probe into the vesicles. Reduced probe transport indicates inhibition. In polarized cell systems, such as BCRP-transfected Madin–Darby canine kidney cells, researchers measure directional transport across a cell monolayer with and without the test compound.

BCRP is expressed on the intestinal epithelium, the canalicular membrane of hepatocytes, the blood–brain barrier, the placenta, and other protective tissues. Its normal activity limits intestinal absorption, supports biliary elimination, and restricts entry into protected tissues. **Inhibiting intestinal BCRP increases exposure to susceptible oral substrate drugs under relevant concentration conditions.** In tumors that overexpress BCRP, inhibition can also reduce the export of anticancer drugs, although this effect does not establish clinical benefit.

Interpretation must account for the inhibitor concentration reached at the transporter site, substrate dependence, protein binding, solubility, passive permeability, BCRP substrate status, P-glycoprotein inhibition, metabolic clearance, and expected human exposure.

We generated these insights using advanced AI systems designed to analyze complex biotech data and highlight potential trends. While we strive for accuracy, AI models can occasionally make mistakes or miss context, so please treat these predictions as informative guidelines rather than absolute facts.

This report is provided for general informational and educational purposes only, not for medical diagnosis, treatment decisions, or financial advice. Because individual

circumstances and data can vary, we cannot be held responsible for any decisions made or losses incurred based on these predictions.

Now that we got this out of the way, we genuinely hope these results are useful for your research.

Sincerely,

Your friends at Nezu Biotech GmbH.

You have the vision. We can help make it reality.

Click here to book a demo. Tell us about your molecules.

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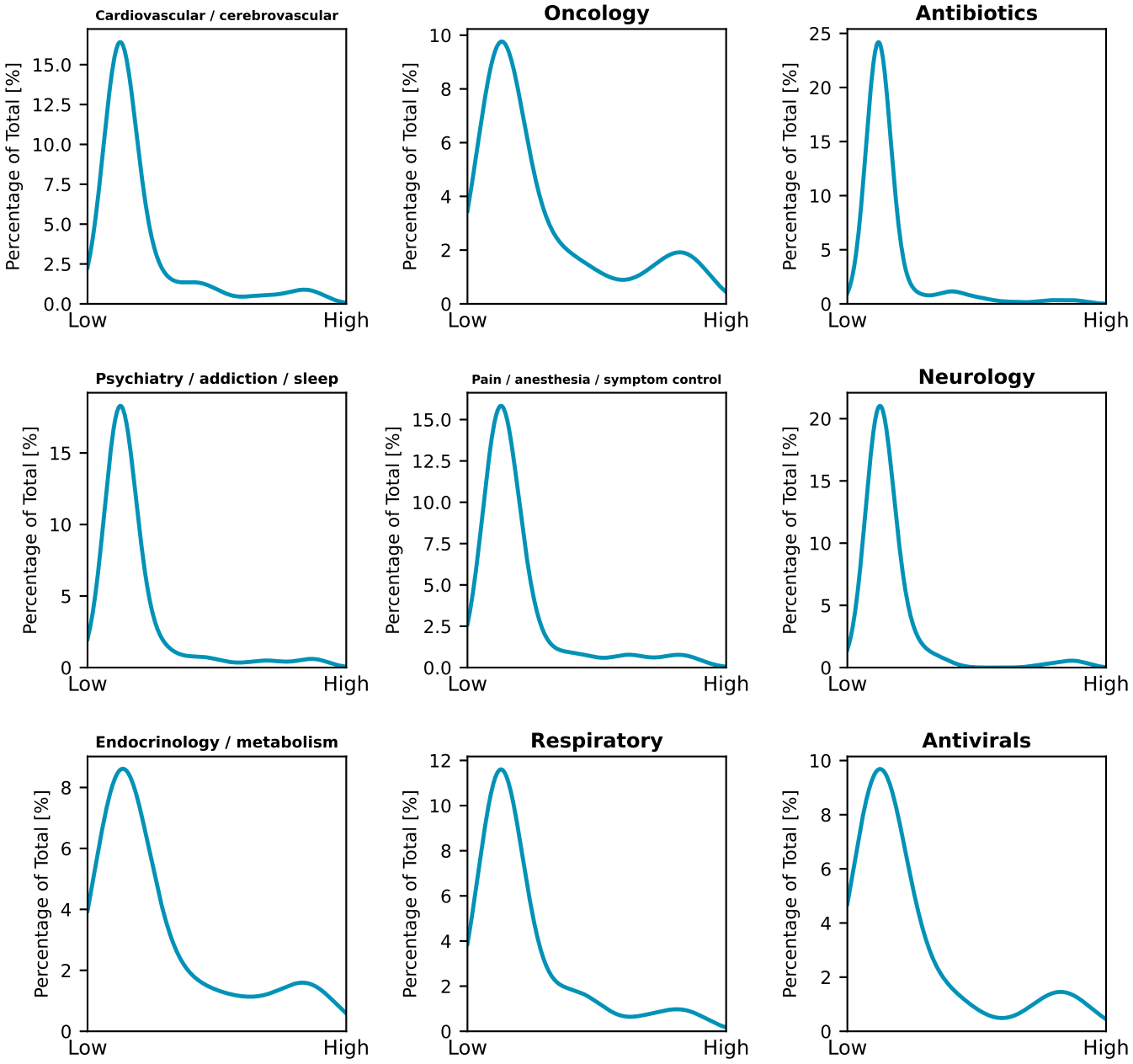
Breast Cancer Resistance Protein (BCRP)



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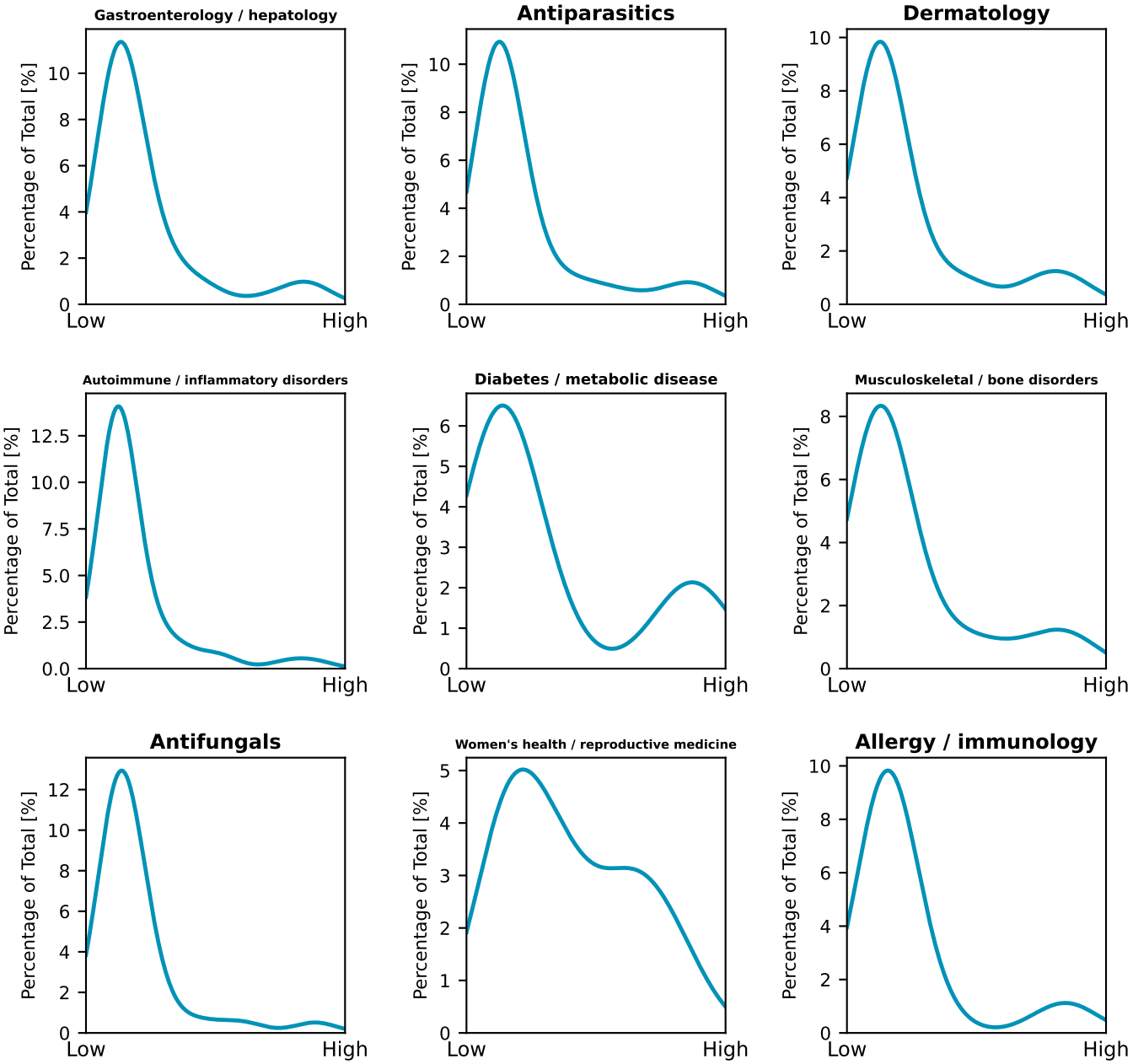

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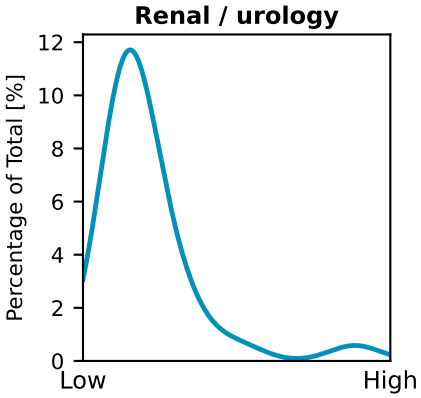

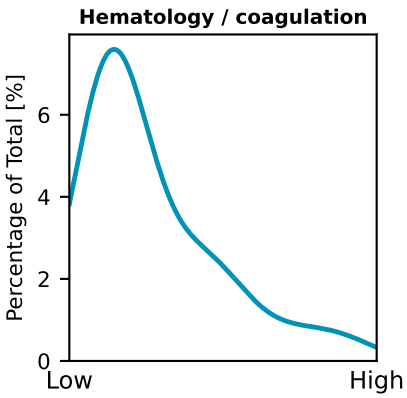

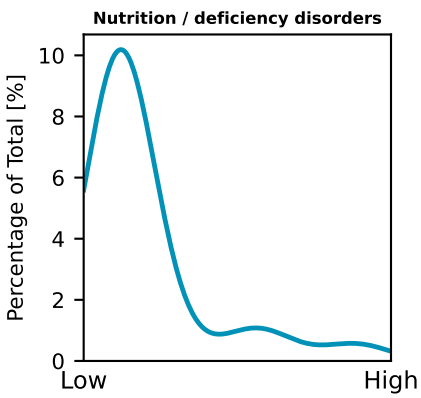

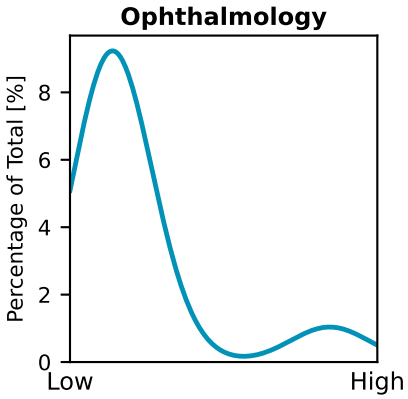

Derived from thousands of marketed drugs